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NEWS 5	DEC 18	ReaxysFile available on STN
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NEWS 7	DEC 22	Value-Added Indexing Improves Access to World Traditional Medicine Patents in CAplus
NEWS 8	JAN 24	The new and enhanced DPCI file on STN has been released
NEWS 9	JAN 26	Improved Timeliness of CAS Indexing Adds Value to USPATFULL and USPAT2 Chemistry Patents
NEWS 10	JAN 26	Updated MeSH vocabulary, new structured abstracts, and other enhancements improve searching in STN reload of MEDLINE
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NEWS 16	APR 26	Expanded Swedish Patent Application Coverage in CA/CAplus Provides More Current and Complete Information
NEWS 17	APR 28	The DWPI (files WPINDEX, WPIDS and WPIX) on STN have been enhanced with thesauri for the European Patent Classifications
NEWS 18	MAY 02	MEDLINE Improvements Provide Fast and Simple Access to DOI and Chemical Name Information
NEWS 19	MAY 12	European Patent Classification thesauri added to the INPADOC files, PCTFULL, GBFULL and FRFULL
NEWS 20	MAY 23	Enhanced performance of STN biosequence searches
NEWS 21	MAY 23	Free Trial of the Numeric Property Search Feature in PCTFULL on STN
NEWS 22	JUN 20	STN on the Web Enhanced with New Patent Family Assistant and Updated Structure Plug-In
NEWS 23	JUN 20	INPADOC databases enhanced with first page images
NEWS 24	JUN 20	PATDPA database updates to end in June 2011
NEWS 25	JUN 26	MARPAT Enhancements Save Time and Increase Usability
NEWS 26	JUL 25	STN adds Australian patent full-text database, AUPATFULL, including the new numeric search feature.
NEWS 27	AUG 01	CA Sections Added to ACS Publications Web Editions Platform
NEWS 28	AUG 16	INPADOC: Coverage of German Patent Data resumed, enhanced legal status
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NEWS EXPRESS 18 AUGUST 2011 CURRENT WINDOWS VERSION IS V8.5,
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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 17:06:59 ON 19 AUG 2011

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.23	0.23

FILE 'REGISTRY' ENTERED AT 17:07:32 ON 19 AUG 2011
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STRUCTURE FILE UPDATES: 18 AUG 2011 HIGHEST RN 1319804-90-4
DICTIONARY FILE UPDATES: 18 AUG 2011 HIGHEST RN 1319804-90-4

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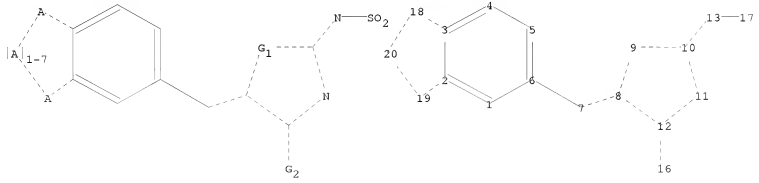

chain nodes :
7 13 16 17
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 18 19 20
chain bonds :

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6-7  7-8  10-13  12-16  13-17
ring bonds :
1-2  1-6  2-3  2-19  3-4  3-18  4-5  5-6  8-9  8-12  9-10  10-11  11-12  18-20  19-20
exact/norm bonds :
2-19  3-18  6-7  7-8  8-9  8-12  9-10  10-11  10-13  11-12  12-16  13-17  18-20  19-20
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6


G1:O,S,N

G2:O,S

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:Atom  9:Atom  10:Atom  11:Atom
12:Atom  13:CLASS  16:CLASS  17:CLASS  18:Atom  19:Atom  20:Atom



L1      STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1              STR
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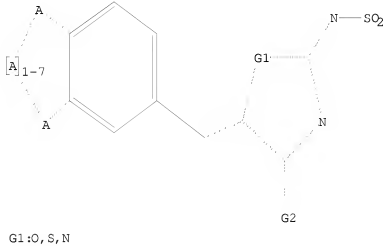


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G1:O,S,N
G2:O,S


Structure attributes must be viewed using STN Express query preparation.


=> s l1 sss sam
SAMPLE SEARCH INITIATED 17:08:06 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       36 TO ITERATE

100.0% PROCESSED       36 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          360 TO     1080
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 17:08:24 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      754 TO ITERATE

100.0% PROCESSED      754 ITERATIONS                              38 ANSWERS
SEARCH TIME: 00.00.01
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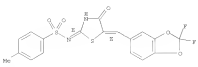
L3            38 SEA SSS FUL L1

=> d scan
```

L3 38 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN
IN Benzenesulfonamide, N-[(5Z)-5-[(2,2-difluoro-1,3-benzodioxol-5-yl)methylene]-4-oxo-2-thioxo-3-thiazolidinyl]-4-methyl-, [N(S)]-
MF C18 H12 F2 N2 O5 S2

Double bond geometry as shown.

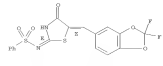


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1)-12

L3 38 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN
IN Benzenesulfonamide, N-[(5Z)-5-[(2,2-difluoro-1,3-benzodioxol-5-yl)methylene]-4-oxo-2-thioxo-3-thiazolidinyl]-, [N(E)]-
MF C17 H10 F2 N2 O5 S2

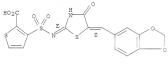
Double bond geometry as shown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 38 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN
IN 2-Thiophenecarboxylic acid, 3-[[(2Z)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thioxo-3-thiazolidinyl]amino]sulfonyl]-
MF C16 H10 N2 O7 S3

Double bond geometry as shown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1)-0

=> file caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	197.37	197.60

FILE 'CAPLUS' ENTERED AT 17:08:38 ON 19 AUG 2011
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FILE COVERS 1907 - 19 Aug 2011 VOL 155 ISS 9
FILE LAST UPDATED: 18 Aug 2011 (20110818/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2011
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2011

CAplus now includes complete International Patent Classification (IPC) reclassification data for the first quarter of 2011.

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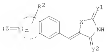
L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2006:367076 CAPLUS
DOCUMENT NUMBER: 144:398358
TITLE: PI3 kinase gamma inhibitors for the treatment of anaemia
INVENTOR(S): Wetzker, Reinhard; Mueller, Angelika; Rommel, Christian
PATENT ASSIGNEE(S): Applied Research Systems Ars Holding N.V., Neth. Antilles
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006040318	A2	20060420	WO 2005-EP55156	20051011
WO 2006040318	A3	20060810		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005293556	A1	20060420	AU 2005-293556	20051011
CA 2580480	A1	20060420	CA 2005-2580480	20051011
EP 1807075	A2	20070718	EP 2005-801722	20051011
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CN 101056633	A	20071017	CN 2005-80038804	20051011
JP 2008515955	T	20080515	JP 2007-536166	20051011
ZA 2007002435	A	20080625	ZA 2007-2435	20051011
BR 2005017416	A	20081007	BR 2005-17416	20051011
IN 2007DN02450	A	20070803	IN 2007-DN2450	20070402
MX 2007004302	A	20070607	MX 2007-4302	20070411
NO 2007002393	A	20070509	NO 2007-2393	20070509
US 20090042773	A1	20090212	US 2007-664969	20070710
PRIORITY APPLN. INFO.:			EP 2004-104997 A	20041012
			WO 2005-EP55156 W	20051011

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 144:398358
GI



I

AB This present invention is related to the use of selective PD kinase gamma inhibitors for the manufacture of a medicament for the treatment of disorders related to erythrocyte deficiency. Specifically, the present invention is related to the use of selective PI3 Kinase gamma inhibitors, e.g.

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

substituted azolidinone-vinyl fused-benzene derivs. for the treatment of an anemia, including haemolytic anemia, aplastic anemia and pure red cell anemia. (I) wherein A, X, Y1, Y2, Z, n, R1 and R2 are described in details in the description hereinafter.

IT 326093-91-8 419552-35-5 843641-09-8 843641-10-1 843641-11-2 843641-12-3 843641-13-4 843641-14-5 843641-15-6 843641-16-7 843641-17-8 843641-18-9 843641-19-0 843641-20-3 843641-21-4 843641-22-5 843641-23-6 843641-24-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(PI3 kinase gamma inhibitors for treatment of anemia)

RN 326093-91-8 CAPLUS
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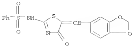
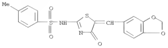
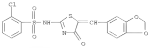


RN 419552-35-5 CAPLUS
CN Benzenesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]-4-methyl- (CA INDEX NAME)

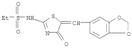


RN 843641-09-8 CAPLUS
CN Benzenesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]-2-chloro- (CA INDEX NAME)



RN 843641-10-1 CAPLUS
CN Ethanesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



RN 843641-11-2 CAPLUS
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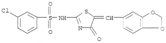
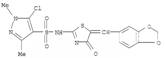
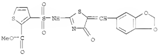


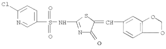
RN 843641-12-3 CAPLUS
CN 1H-Pyrazole-4-sulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]-5-chloro-1,3-dimethyl- (CA INDEX NAME)



RN 843641-13-4 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

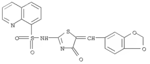


RN 843641-14-5 CAPLUS
CN 3-Pyridinesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]-6-chloro- (CA INDEX NAME)



L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

RN 843641-15-6 CAPLUS
CN 8-Quinolinesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)



RN 843641-16-7 CAPLUS
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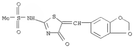
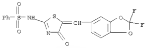
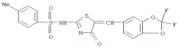


RN 843641-17-8 CAPLUS
CN Benzenesulfonamide, N-[5-[(2,2-difluoro-1,3-benzodioxol-5-yl)methylene]-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)

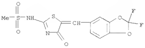


RN 843641-18-9 CAPLUS
CN Benzenesulfonamide, N-[5-[(2,2-difluoro-1,3-benzodioxol-5-yl)methylene]-4,5-dihydro-4-oxo-2-thiazolyl]-4-methyl- (CA INDEX NAME)



RN 843641-19-0 CAPLUS
CN Methanesulfonamide, N-[5-[(2,2-difluoro-1,3-benzodioxol-5-yl)methylene]-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



RN 843641-20-3 CAPLUS
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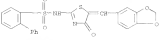

RN 843641-21-4 CAPLUS
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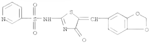
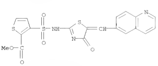
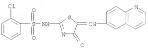


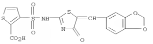
RN 843641-22-5 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[[4,5-dihydro-4-oxo-5-(6-quinolinylmethylene)-2-thiazolyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



RN 843641-23-6 CAPLUS
CN Benzenesulfonamide, 2-chloro-N-[4,5-dihydro-4-oxo-5-(6-quinolinylmethylene)-2-thiazolyl]- (CA INDEX NAME)



L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)
RN 843641-24-7 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]amino]sulfonyl]- (CA INDEX NAME)



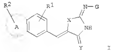
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2005:120737 CAPLUS
DOCUMENT NUMBER: 142:219270
TITLE: Preparation of 2-imino-4-(thio)oxo-5-polycyclovinylazolines as PI3 kinase inhibitors
INVENTOR(S): Rueckle, Thomas; Shaw, Jeffrey; Church, Denis; Covini, David
PATENT ASSIGNEE(S): Applied Research Systems Ars Holding N.V., Neth.
SOURCE: PCT Int. Appl., 72 pp. CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005011686	A1	20050210	WO 2004-EP51625	20040727
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004260836	A1	20050210	AU 2004-260836	20040727
CA 2531140	A1	20050210	CA 2004-2531140	20040727
EP 1648452	A1	20060426	EP 2004-766335	20040727
EP 1648452	B1	20090722		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2007500171	T	20070111	JP 2006-521581	20040727
US 20070021447	A1	20070125	US 2004-565976	20040727
ES 2328146	T3	20091110	ES 2004-766335	20040727
NO 2006000573	A	20060203	NO 2006-573	20060203
PRIORITY APPLN. INFO.:			EP 2003-102313 A	20030728
			WO 2004-EP51625 W	20040727

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 142:219270; MARPAT 142:219270
GI



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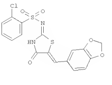


II

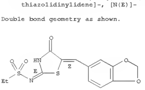
L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

AB The title compds. I [A = 5-8 membered heterocyclic or carbocyclic group which may be fused with an aryl, heteroaryl, cycloalkyl or heterocycloalkyl; X = S, O, NR3, Y = S, O; R1 = H, CN, CO2H, acyl, etc.; R2 = H, halo, acyl, NH2, etc.; G = alkoxy, alkyl, CN, etc.; R3 = H, alkyl; with provisos], useful in particular for the treatment and/or prophylaxis of autoimmune disorders and/or inflammatory diseases, cardiovascular diseases, neurodegenerative diseases, kidney diseases, platelet aggregation, cancer, transplantation, graft rejection or lung injuries, were prepared and formulated. Thus, reacting 5-benzo[1,3]dioxol-5-ylmethylene-2-iminothiazolidin-4-one (preparation given) with 2-chlorobenzenesulfonyl chloride afforded 17% II. The tested compds. I showed IC50 of < 10 μM with regard to PI3Kγ.

IT 1044645-30-8 1044645-32-0 1044645-34-2
1044645-38-6 1044645-40-0 1044645-41-1
1044645-42-2 1044645-45-5 1044645-49-9
1044645-55-7 1044645-56-8 1044645-57-9
1044645-63-7 1044645-65-9 1044645-66-0
1044645-72-8 1044645-77-3 1044645-78-4
RL: PRPH (Prophetic)
(Preparation of 2-imino-4-(thio)oxo-5-polycyclovinylazolines as PI3 kinase inhibitors)

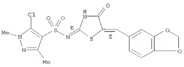
RN 1044645-30-8 CAPLUS
CN Ethanesulfonamide, N-[(5Z)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thiazolidinylidene]-, [N(E)]- (CA INDEX NAME)

Double bond geometry as shown.



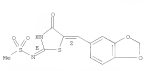
RN 1044645-32-0 CAPLUS
CN 1H-Pyrazole-4-sulfonamide, N-[(5Z)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thiazolidinylidene]-5-chloro-1,3-dimethyl-, [N(E)]- (CA INDEX NAME)

Double bond geometry as shown.



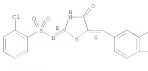
RN 1044645-34-2 CAPLUS
CN Methanesulfonamide, N-[(5Z)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thiazolidinylidene]-, [N(E)]- (CA INDEX NAME)

Double bond geometry as shown.



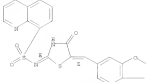
RN 1044645-38-6 CAPLUS
CN Benzenesulfonamide, N-[(5Z)-5-[(1,3-benzodioxol-5-ylmethyl)oxy]-4-oxo-2-thiazolidinylidene]-2-chloro-, [N(E)]- (CA INDEX NAME)

Double bond geometry as shown.



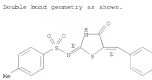
RN 1044645-40-0 CAPLUS
CN 8-Quinolinesulfonamide, N-[(5Z)-5-[(1,3-benzodioxol-5-ylmethyl)oxy]-4-oxo-2-thiazolidinylidene]-, [N(E)]- (CA INDEX NAME)

Double bond geometry as shown.

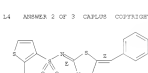


RN 1044645-41-1 CAPLUS
CN Benzenesulfonamide, N-[(5Z)-5-[(1,3-benzodioxol-5-ylmethyl)oxy]-4-oxo-2-thiazolidinylidene]-4-methyl-, [N(E)]- (CA INDEX NAME)

Double bond geometry as shown.

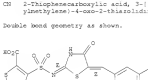


RN 1044645-42-2 CAPLUS
CN Methanesulfonamide, N-[(5Z)-5-[(2,2-difluoro-1,3-benzodioxol-5-yl)methylene]-4-oxo-2-thiazolidinylidene]-, [N(E)]- (CA INDEX NAME)



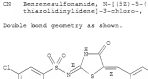
RN 1044645-57-9 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[(E)-[(5Z)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thiazolidinylidene]amino]sulfonyl]- (CA INDEX NAME)

Double bond geometry as shown.



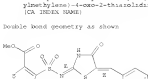
RN 1044645-63-7 CAPLUS
CN Benzenesulfonamide, N-[(5Z)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thiazolidinylidene]-3-chloro-, [N(E)]- (CA INDEX NAME)

Double bond geometry as shown.



RN 1044645-65-9 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[(E)-[(5Z)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thiazolidinylidene]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

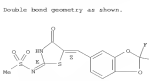
Double bond geometry as shown.



RN 1044645-66-0 CAPLUS
CN Benzenesulfonamide, N-[(5Z)-5-[(2,2-difluoro-1,3-benzodioxol-5-ylmethylene]-4-oxo-2-thiazolidinylidene]-, [N(E)]- (CA INDEX NAME)

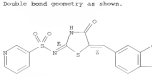
Double bond geometry as shown.

Double bond geometry as shown.



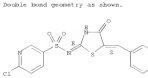
RN 1044645-45-5 CAPLUS
CN 3-Pyridinesulfonamide, N-[(5Z)-5-[(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thiazolidinylidene]-, [N(E)]- (CA INDEX NAME)

Double bond geometry as shown.



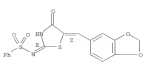
RN 1044645-49-9 CAPLUS
CN 3-Pyridinesulfonamide, N-[(5Z)-5-[(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thiazolidinylidene]-4-chloro-, [N(E)]- (CA INDEX NAME)

Double bond geometry as shown.



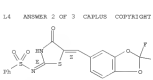
RN 1044645-55-7 CAPLUS
CN Benzenesulfonamide, N-[(5Z)-5-[(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thiazolidinylidene]-, [N(E)]- (CA INDEX NAME)

Double bond geometry as shown.



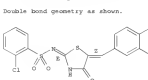
RN 1044645-56-8 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[(E)-[(5Z)-4-oxo-5-(6-quinolinylmethylene)-2-thiazolidinylidene]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

Double bond geometry as shown.



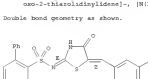
RN 1044645-72-8 CAPLUS
CN Benzenesulfonamide, 2-chloro-N-[(5Z)-4-oxo-5-(6-quinolinylmethylene)-2-thiazolidinylidene]-, [N(E)]- (CA INDEX NAME)

Double bond geometry as shown.



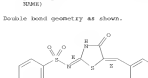
RN 1044645-77-3 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-[(5Z)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thiazolidinylidene]-, [N(E)]- (CA INDEX NAME)

Double bond geometry as shown.



RN 1044645-78-4 CAPLUS
CN Benzenesulfonamide, N-[(5Z)-5-[(2,2-difluoro-1,3-benzodioxol-5-ylmethylene]-4-oxo-2-thiazolidinylidene]-4-methyl-, [N(E)]- (CA INDEX NAME)

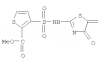
Double bond geometry as shown.



IT 843641-13-4P
RL: PAC (Pharmacological activity); PCT (Reactant); SPH (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 2-amino-4-(thio)oxo-5-polycyclovinylazolines as P13 kinase inhibitors)

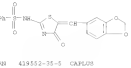
RN 843641-13-4 CAPLUS

CN 2-Thiophenecarboxylic acid, 3-[[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

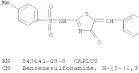


IT 326093-91-8P 419552-35-5P 843641-09-8P
843641-10-1P 843641-11-2P 843641-12-3P
843641-14-5P 843641-15-6P 843641-16-7P
843641-17-8P 843641-18-9P 843641-19-0P
843641-20-3P 843641-21-4P 843641-22-5P
843641-23-6P 843641-24-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-amino-4-(thio)oxo-5-polyarylvinylarolines as P13 kinase inhibitors)

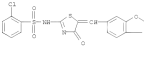
RN 326093-91-8 CAPLUS
CN Benzenesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)

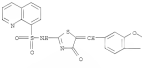


RN 419552-35-5 CAPLUS
CN Benzenesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]-4-methyl- (CA INDEX NAME)

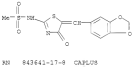


RN 843641-09-8 CAPLUS
CN Benzenesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]-2-chloro- (CA INDEX NAME)

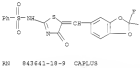




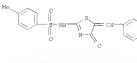
RN 843641-16-7 CAPLUS
CN Methanesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)



RN 843641-17-8 CAPLUS
CN Benzenesulfonamide, N-[5-[(2,2-difluoro-1,3-benzodioxol-5-yl)methylene]-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)

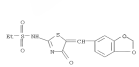


RN 843641-18-9 CAPLUS
CN Benzenesulfonamide, N-[5-[(2,2-difluoro-1,3-benzodioxol-5-yl)methylene]-4,5-dihydro-4-oxo-2-thiazolyl]-4-methyl- (CA INDEX NAME)

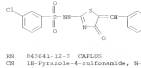


RN 843641-19-0 CAPLUS
CN Methanesulfonamide, N-[5-[(2,2-difluoro-1,3-benzodioxol-5-yl)methylene]-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)

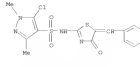
RN 843641-10-1 CAPLUS
CN Ethanesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)



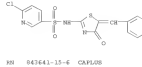
RN 843641-11-2 CAPLUS
CN Benzenesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]-3-chloro- (CA INDEX NAME)



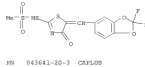
RN 843641-12-3 CAPLUS
CN 1H-Pyrazole-4-sulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]-5-chloro-1,3-dimethyl- (CA INDEX NAME)



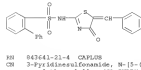
RN 843641-14-5 CAPLUS
CN 3-Pyridinesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]-6-chloro- (CA INDEX NAME)



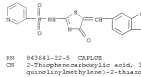
RN 843641-15-6 CAPLUS
CN 8-Quinolinesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)



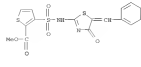
RN 843641-20-3 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)



RN 843641-21-4 CAPLUS
CN 3-Pyridinesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)



RN 843641-22-5 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[[4,5-dihydro-4-oxo-5-(6-quinolinylmethylene)-2-thiazolyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



RN 843641-23-6 CAPLUS
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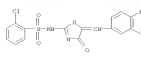
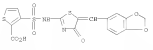


L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)
RN 843641-24-7 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]amino]sulfonyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

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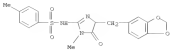
L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1999:163657 CAPLUS
DOCUMENT NUMBER: 130:325282
TITLE: Synthesis of Marine Alkaloids Isonaamine A, Dorimidazole A, and Preclathridines A. Iminophosphorane-Mediated Preparation of 2-Amino-1,4-disubstituted Imidazoles fron α-Azido Esters
AUTHOR(S): Molina, Pedro; Fresneda, Pilar M.; Sanz, Miguel A.
CORPORATE SOURCE: Departamento de Quimica Organica Facultad de Quimica, Universidad de Murcia, Murcia, E-30071, Spain
SOURCE: Journal of Organic Chemistry (1999), 64(7), 2540-2544
CODEN: JOCRAK; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:325282
AB The preparation of 2-amino-1,5-disubstituted imidazoles fron α-azido esters was achieved. The aza-Wittig reaction of the iminophosphorane deriv. with tosyl isocyanate, reaction with primary amines yielded the appropriately substituted 2-aminoimidazolinone ring followed by DIBAL reduction, methanesulfonyl chloride dehydration and N-tosyl deprotection afforded the title alkaloids. The key step was the Staudinger/aza-Wittig/carbodiimide-mediated cyclization of a novel guanidine precursor that yielded the appropriately substituted imidazole ring.
IT 223757-37-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of isonaamine A, dorimidazole A, and preclathridine A via iminophosphorane mediated approach)
RN 223757-37-7 CAPLUS
CN Benzenesulfonamide, N-[4-(1,3-benzodioxol-5-ylmethyl)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]-4-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 36 THERE ARE 36 CAPLUS RECORDS THAT CITE THIS RECORD (37 CITINGS)

REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FULL ESTIMATED COST                                    18.92     216.52

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                    -2.61      -2.61

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DICTIONARY FILE UPDATES:  18 AUG 2011  HIGHEST RN 1319804-90-4

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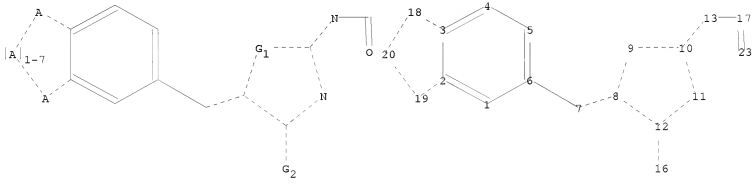


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7  13  16  17  23
ring nodes :
1  2  3  4  5  6  8  9  10  11  12  18  19  20
chain bonds :
6-7  7-8  10-13  12-16  13-17  17-23
ring bonds :
1-2  1-6  2-3  2-19  3-4  3-18  4-5  5-6  8-9  8-12  9-10  10-11  11-12  18-20  19-20
exact/norm bonds :
2-19  3-18  6-7  7-8  8-9  8-12  9-10  10-11  10-13  11-12  12-16  13-17  17-23  18-20  19-20
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6
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G1:O,S,N

G2:O,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:CLASS 16:CLASS 17:CLASS 18:Atom 19:Atom 20:Atom 23:CLASS

L5 STRUCTURE UPLOADED

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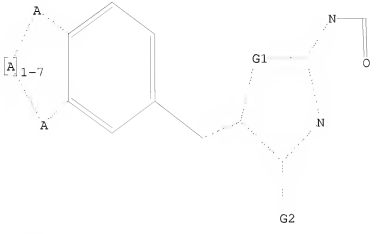
L5 STR



G1:O,S,N
G2:O,S

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 79 TO ITERATE

100.0% PROCESSED 79 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1047 TO 2113
PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

=> s l5 sss full
FULL SEARCH INITIATED 17:10:34 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1260 TO ITERATE

100.0% PROCESSED 1260 ITERATIONS 26 ANSWERS
SEARCH TIME: 00.00.01

L7 26 SEA SSS FUL L5

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ENTER A FILE NAME OR (HOME):caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL

	ENTRY	SESSION
FULL ESTIMATED COST	196.86	413.38

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-2.61

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FILE LAST UPDATED: 18 Aug 2011 (20110818/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2011
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2011

CAplus now includes complete International Patent Classification (IPC) reclassification data for the first quarter of 2011.

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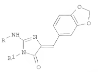
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l7
L8 6 L7

=> d ibib abs hitstr tot

L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2011:675614 CAPLUS
DOCUMENT NUMBER: 155:41220
TITLE: Leucettines, a Class of Potent Inhibitors of cdc2-Like Kinases and Dual Specificity, Tyrosine Phosphorylation Regulated Kinases Derived from the Marine Sponge Leucettamine B: Modulation of Alternative Pre-RNA Splicing
AUTHOR(S): Debdab, Mansour; Carreaux, Francois; Renault, Steven; Soundararajan, Meera; Fedorov, Oleg; Filippakopoulos, Panagis; Lozach, Olivier; Babault, Lucie; Tahtouh, Tania; Baratte, Blandine; Ogawa, Yasushi; Hagiwara, Masatoshi; Eisenreich, Andreas; Rauch, Ursula; Knapp, Stefan; Meijer, Laurent; Bazureau, Jean-Pierre
CORPORATE SOURCE: Sciences Chimiques de Rennes, UMR CNRS 6226, Groupe Ingenierie Chimique & Molecules pour le Vivant' (ICMV), Universite de Rennes 1, Rennes cedex, 35042, Fr.
SOURCE: Journal of Medicinal Chemistry (2011), 54(12), 4172-4186
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
GI

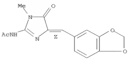


I

AB The synthesis, optimization, and biol. characterization of leucettines, a family of kinase inhibitors derived from the marine sponge leucettamine B I (R = H, R1 = Me), was reported. Stepwise synthesis of analogs starting from the natural structure, guided by activity testing on eight purified kinases, led to highly potent inhibitors of CLKs and DYRKs, two families of kinases involved in alternative pre-mRNA splicing and Alzheimer's disease/Down syndrome. Leucettine L41 I (R = Ph, R1 = H) was cocrystd. with CLK3. It interacts with key residues located within the ATP-binding pocket of the kinase. Leucettine L41 inhibited the phosphorylation of serine/arginine-rich proteins (SRp), a family of proteins regulating pre-RNA splicing. Indeed leucettine L41 was demonstrated to modulate alternative pre-mRNA splicing, in a cell-based reporting system. Leucettines should be further explored as pharmacol. tools to study and modulate pre-RNA splicing. Leucettines may also be investigated as potential therapeutic drugs in Alzheimer's disease (AD) and in diseases involving abnormal pre-mRNA splicing.
IT 1112978-47-8P 1112978-71-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of derivs. of the marine sponge alkaloid leucettamine B evaluation of their inhibitors of cdc2-like kinases)
RN 1112978-47-8 CAPLUS
CN Acetamide, N-[(4Z)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]- (CA INDEX NAME)

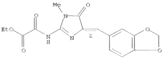
Double bond geometry as shown.

L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



RN 1112978-71-8 CAPLUS
CN Acetic acid, 2-[[(4Z)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]amino]-2-oxo-, ethyl ester (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 83 THERE ARE 83 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2009:491763 CAPLUS
DOCUMENT NUMBER: 150:472956
TITLE: Preparation of imidazolone derivatives, derivatives of marine alkaloid Leucettamine B as dual specificity tyrosine-regulated kinase-1A inhibitors
INVENTOR(S): Carreaux, Francois; Bazureau, Jean-Pierre; Renault, Steven; Meijer, Laurent; Lozach, Olivier
PATENT ASSIGNEE(S): Universite De Rennes 1, Fr.; Centre National De La Recherche Scientifique (C.N.R.S.)
SOURCE: PCT Int. Appl., 54pp.; Chemical Indexing Equivalent to 150:214566 (FR)
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2009050352	A2	20090423	WO 2008-FR1152		20080801
WO 2009050352	A3	20090723			
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW					
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FR 2919608	A1	20090206	FR 2007-5632		20070801
CA 2694377	A1	20090423	CA 2008-2694377		20080801
KR 2010051698	A	20100517	KR 2010-7004568		20080801
EP 2185547	A2	20100519	EP 2008-838929		20080801
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JP 2010535180	T	20101118	JP 2010-518711		20080801
MX 2010001170	A	20100625	MX 2010-1170		20100129
IN 2010DN01081	A	20100723	IN 2010-DN1081		20100217
CN 101784542	A	20100721	CN 2008-80103961		20100222
US 20100216855	A1	20100826	US 2010-452940		20100426
PRIORITY APPLN. INFO.:			FR 2007-5632	A	20070801
			WO 2008-FR1152	W	20080801

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 150:472956
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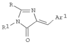
L8 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



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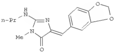
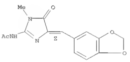


II

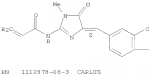
AB Title compds. I [R1 = H, (un)substituted alkyl, (hetero)aryl; Ar1 = (un)substituted aryl with 2 adjacent substituents optionally forming a 5-6 membered ring, or a heteroaryl optionally condensed with a 5-6 membered aryl ring with the heteroatom selected from N, S, O; R = SR2, NHR3, NHCOR4, Ar2; R2 = (un)substituted alkyl, vinyl, benzyl, etc.; R3 = H, R2; Ar2 = (un)substituted aryl with 2 adjacent substituents optionally forming a 5-6 membered ring] were prepared as inhibitors of dual specificity tyrosine-regulated kinase-1A (DYRK1A). Thus, imidazolone II, prepared from glycine Me ester hydrochloride, inhibited DYRK1A with IC50 = 2.3 μM. I are useful for treating Alzheimers, tauopathies, trisomy 21, Pick's disease and neurodegenerative disorders (no data).
IT 1112978-47-8P 1112978-67-2P 1112978-68-3P 1112978-69-4P 1112978-70-7P 1112978-71-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of Leucettamine B derivs. as DYRK1A inhibitors)
RN 1112978-47-8 CAPLUS
CN Acetamide, N-[(4Z)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]- (CA INDEX NAME)

Double bond geometry as shown.



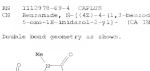
RN 1112978-67-2 CAPLUS
CN 2-Propenamide, N-[(4Z)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]- (CA INDEX NAME)

Double bond geometry as shown.



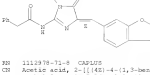
RN 1112978-68-3 CAPLUS
CN Propanamide, N-[(4S)-4-[1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]-2,2-dimethyl- (CA INDEX NAME)

Double bond geometry as shown.



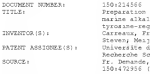
RN 1112978-69-4 CAPLUS
CN Benzamide, N-[(4S)-4-[(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]- (CA INDEX NAME)

Double bond geometry as shown.



RN 1112978-70-7 CAPLUS
CN Benzeneacetamide, N-[(4S)-4-[1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]- (CA INDEX NAME)

Double bond geometry as shown.



RN 1112978-71-8 CAPLUS
CN Acetic acid, 2-[(4S)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]amino]-2-oxo-, ethyl ester (CA INDEX NAME)

Double bond geometry as shown.

ACCESSION NUMBER: 2009:145372 CAPLUS
DOCUMENT NUMBER: 150:214566
TITLE: Preparation of imidazolone derivatives, derivatives of marine alkaloid Lesoctamine B as dual specificity tyrosine-regulated kinase-1A inhibitors
INVENTOR(S): Carreaux, Francois; Bazureau, Jean Pierre; Renault, Steven; Meijer, Laurent; Lozach, Olivier
PATENT ASSIGNEE(S): Universite de Rennes 1, Fr.; Centre National de la Recherche Scientifique - CNRS
SOURCE: Fr. Demande, 72pp.; Chemical Indexing Equivalent to 150:472956 (WO)
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2919628	A1	20090206	FR 2007-5632	20070801
CA 2694377	A1	20090423	CA 2008-2694377	20080801
WO 2009050252	A2	20090423	WO 2008-FR152	20080801
WO 2009050252	A3	20090723		

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GH, GM, GR, HU, IE, IS, IT, LS, LU, LV, MC, MT, MW, MZ, NA, NL, NO, PL, PT, RO, SD, SE, SI, SK, SL, SM, ST, SZ, TR, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AL, BA, HR, MK, RS

KR 2010055698	A	20100527	KR 2010-7004568	20080801
EP 2198147	A2	20100623	EP 2008-839278	20080801
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, AL, BA, MK, RS				
JP 2010535180	T	20101118	JP 2010-518711	20080801
MX 2010001170	A	20100625	MX 2010-1170	20100129
IN 2010DN01081	A	20100723	IN 2010-DN1081	20100217
CN 101784542	A	20100721	CN 2008-80103961	20100222
US 20100216855	A1	20100826	US 2010-452940	20100416

PRIORITY APPLN. INFO.: FR 2007-5632 A 20070801
WO 2008-FR152 W 20080801

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSTB DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 150:214566
01

I

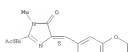
II

AB Title compds. I [R1 = H, (un)substituted alkyl, (heteroaryl; Ar1 = (un)substituted aryl with 2 adjacent substituents optionally forming a 5-6 membered ring, or a heteroaryl optionally condensed with a 5-6 membered aryl ring with the heteroatom selected from N, S, O; R = RR2, NRR3, NHCOR4, Ar; R2 = (un)substituted alkyl, vinyl, benzyl, etc.; R3 = H, R2; Ar2 = (un)substituted aryl with 2 adjacent substituents optionally forming a 5-6 membered ring] were prepared as inhibitors of dual specificity tyrosine-regulated kinase-1A (DYRK1A). Thus, imidazolone II, prepared from glycine Me ester hydrochloride, inhibited DYRK1A with IC50 = 2.3 μM. I are useful for treating Alzheimers, tauopathies, trisomy 21, Pick's disease and neurodegenerative disorders (no data).

IT 1112978-67-9P 1112978-67-2R 1112978-68-3P
1112978-69-4P 1112978-70-7P 1112978-71-8P
RL: PAC (Pharmacological activity); RPH (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of Leucettamine B derivs. as DYRK1A inhibitors)

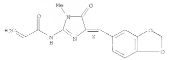
RN 1112978-67-2 CAPLUS
CN 2-Propenamide, N-[(4S)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]- (CA INDEX NAME)

Double bond geometry as shown.



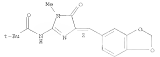
RN 1112978-67-2 CAPLUS
CN 2-Propenamide, N-[(4S)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]- (CA INDEX NAME)

Double bond geometry as shown.



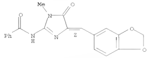
RN 1112978-68-3 CAPLUS
CN Propanamide, N-[(4Z)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]-2,2-dimethyl- (CA INDEX NAME)

Double bond geometry as shown.



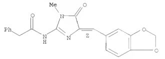
RN 1112978-69-4 CAPLUS
CN Benzamide, N-[(4Z)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]- (CA INDEX NAME)

Double bond geometry as shown.



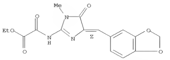
RN 1112978-70-7 CAPLUS
CN Benzeneacetamide, N-[(4Z)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]- (CA INDEX NAME)

Double bond geometry as shown.



RN 1112978-71-8 CAPLUS
CN Acetic acid, 2-[[(4Z)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]amino]-2-oxo-, ethyl ester (CA INDEX NAME)

Double bond geometry as shown.

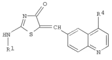


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

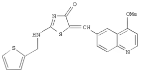
L8 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2006:273695 CAPLUS
DOCUMENT NUMBER: 144:312080
TITLE: Preparation of thiazolinone 4-monosubstituted quinolines as CDK1-Cyclin B inhibitors for use as anti-cancer agents
INVENTOR(S): Chen, Li; Chen, Shaoqing; Sidduri, Achyutharao; Lou, Jianping
PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.
SOURCE: PCT Int. Appl., 131 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006029861	A1	20060323	WO 2005-EP9925	20050915
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20060063805	A1	20060323	US 2005-214153	20050829
US 7253285	B2	20070807		
AU 2005284292	A1	20060323	AU 2005-284292	20050915
CA 2579476	A1	20060323	CA 2005-2579476	20050915
EP 1797085	A1	20070620	EP 2005-787266	20050915
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101023080	A	20070822	CN 2005-80031330	20050915
JP 2008513396	T	20080501	JP 2007-531675	20050915
BR 2005015467	A	20080722	BR 2005-15467	20050915
RU 2397983	C2	20100827	RU 2007-114122	20050915
MX 2007002914	A	20070427	MX 2007-2914	20070309
KR 2007043890	A	20070425	KR 2007-7006017	20070315
KR 898533	B1	20090520		
IN 2007CN01148	A	20070817	IN 2007-CN1148	20070319
KR 2009031798	A	20090327	KR 2009-7004698	20090305
PRIORITY APPLN. INFO.:			US 2004-610679P P	20040917
			WO 2005-EP9925 W	20050915
			KR 2007-7006017 A3	20070315

OTHER SOURCE(S): MARPAT 144:312080
GI



I



II

AB The present invention relates to thiazolinone monosubstituted quinoline derivs. (shown as I; variables defined below; e.g. (Z)-5-(4-Methoxyquinolin-6-ylmethylidene)-2-[[(thiophen-2-yl)methyl]amino]thiazol-4-one (shown as II)), where the quinoline ring is mono-substituted at the 4 positions, which derivs. demonstrate CDK 1 antiproliferative activity and are useful as anti-cancer agents; to processes making said derivs. as well as medicaments containing them. For I: R1 is H, lower alkyl, aryloxy-lower alkyl, lower alkoxy-lower alkyl, -C(O)O[CH2CH2O]pR9, [CH2CH2O]vR8 or R2(X)n-; X is lower alkylene, hydroxy-lower alkylene, cycloalkylene, aryl-lower alkylene, carboxy-lower alkylene, amido-lower alkylene, mono- or di- halo lower alkylene, amino-lower alkylene, mono- or di- lower alkyl amino lower alkylene or imido-lower alkylene; R2 is R5-R7-substituted ring P where P = aryl, cycloalkyl containing 3-6 C atoms, 4-6 membered heterocycloalkyl containing 3-5 C atoms and 1-2 hetero atoms O, N and S, or a 5 or 6 membered heteroarom. ring containing 1-2 hetero atoms O, S and N. R5, R6 and R7 = hydroxy, lower alkyl sulfone, hydroxy-lower alkyl, H, lower alkyl, halo, perfluorolower alkyl, lower alkoxy, amino, mono- or di- lower alkyl amino, or when two of the substituents R5, R6 and R7 are substituted on adjacent C atoms on ring P, these 2 substituents can be taken together with their adjacent, attached C atoms to form an aryl, 3-6 membered cycloalkyl, 4-6 membered heterocycloalkyl or 4-6 membered heteroarom. ring, said heterocycloalkyl ring and said heteroarom. ring containing 1-2 hetero atoms O, N or S; R4 = halo, -(CH2)mNR15R16, -(O)k(CH2CH2O)yR10, (R17- and R18-substituted ring R)-(CH2)w(O)k-, -SR12 or -O(CH2)tR14. Ring R = aryl, cycloalkyl containing 3-6 C atoms, 4-6 membered heterocyclic alkyl containing 3-5 C atoms and 1-2 hetero atoms O, S and N, or a 5-6 membered heteroarom. ring containing 1-2 hetero atoms O S and N; R8, R9, R11, R15 and R16 = H or lower alkyl; R10 and R12, are lower alkyl; R14 is perfluoro lower alkyl or -NR15R16; R17 and R18 = H, lower alkyl, or -(CH2)zC(:O)OR11; n and k = 0-1; m, w, y and z = 0-3; p = 0-6; and v and t = 1-6. Also included are N-oxides of compds. where R2 contains a N in the heterocycloalkyl or heteroarom. ring and sulfones where R2 contains a S in the heterocycloalkyl or heteroarom. ring. Methods of preparation are claimed and prepns. and/or characterization data for .apprx.50 examples of I are included. For example, II was prepared in 9 steps (87, 97.6, 98, 95, 98.5, 90.8, 64, 58, 73 %, resp.) starting with ethoxide displacement from di-Et 2-ethoxymethylenemalonate by 4-bromoaniline to give 2-[(4-bromophenylamino)methylene]malonic acid di-Et ester followed by formation of the following intermediates: 6-bromo-4-hydroxyquinoline-3-carboxylic acid Et ester, 6-bromo-4-hydroxyquinoline-3-carboxylic acid, 6-bromoquinolin-4-ol, 6-bromo-4-chloroquinoline, 6-bromo-4-methoxyquinoline, and 4-methoxyquinoline-6-carboxaldehyde, 2-[[(thiophen-2-yl)methyl]amino]thiazol-4-one. CDK1/Cyclin B inhibitory

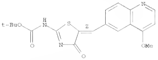
activity is tabulated for 8 examples of I.

IT 879324-04-6P, (Z)-[5-(4-Methoxyquinolin-6-ylmethylidene)-4-oxo-4,5-dihydrothiazol-2-yl]carbamic acid tert-butyl ester
879324-08-0P, (Z)-[5-[4-(2-Methoxyethoxy)quinolin-6-ylmethylidene]-4-oxo-4,5-dihydrothiazol-2-yl]carbamic acid tert-butyl ester
879324-26-2P, (Z)-[5-(4-Phenoxyquinolin-6-ylmethylidene)-4-oxo-4,5-dihydrothiazol-2-yl]carbamic acid tert-butyl ester 879324-33-1P
, (Z)-[5-(4-Butoxyquinolin-6-ylmethylidene)-4-oxo-4,5-dihydrothiazol-2-yl]carbamic acid tert-butyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thiazolinone 4-monosubstituted quinolines as CDK1-Cyclin B inhibitors for use as anti-cancer agents)

RN 879324-04-6 CAPLUS

CN Carbamic acid, [(5Z)-4,5-dihydro-5-[(4-methoxy-6-quinolinyl)methylene]-4-oxo-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

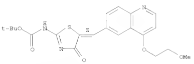
Double bond geometry as shown.



RN 879324-08-0 CAPLUS

CN Carbamic acid, [(5Z)-4,5-dihydro-5-[[4-(2-methoxyethoxy)-6-quinolinyl]methylene]-4-oxo-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

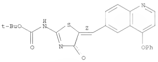
Double bond geometry as shown.



RN 879324-26-2 CAPLUS

CN Carbamic acid, [(5Z)-4,5-dihydro-4-oxo-5-[(4-phenoxy-6-quinolinyl)methylene]-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

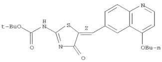
Double bond geometry as shown.



RN 879324-33-1 CAPLUS

CN Carbamic acid, [(5Z)-5-[(4-butoxy-6-quinolinyl)methylene]-4,5-dihydro-4-oxo-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

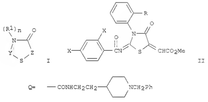
L8 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1996:130808 CAPLUS
DOCUMENT NUMBER: 124:176081
ORIGINAL REFERENCE NO.: 124:32655a,32658a
TITLE: Preparation of 1,3-thiazolidin-4-one derivatives and analogs as thrombin receptor antagonists
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 35 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 07285952	A	19951031	JP 1995-67197		19950327
PRIORITY APPLN. INFO.:			GB 1994-7018	A	19940408
			GB 1994-17443	A	19940830

OTHER SOURCE(S): MARPAT 124:176081

GI

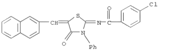


AB The title compds. [I; R1 = lower alkyl, aryl-lower alkyl, lower cycloalkyl, heterocyclyl, acylheterocyclyl, (un)substituted aryl; Y = R2-W:C, R3R4NC, CO; wherein R2 = acyl; W = N, CH; R3 = acyl; R4 = aryl; Z = C:CHR5, CHR7; wherein R5 = (un)protected CO2H, (un)protected amino-lower alkoxycarbonyl, acyl, (un)substituted aryl, heterocyclyloxy; R7 = H, (un)protected carboxy-lower alkyl; n = 0,1], useful for the treatment of the thrombin receptor-mediated diseases, e.g. thrombotic diseases, angina pectoris, heart disorder after implantation of a heart pace maker, valvular heart disease after replacement of an artificial heart vulvae, lung infarction, Raynaud syndrome, nephritis, inflammation, and arteriosclerosis, are prepared. Thus, 0.29 mL di-Me butynedioate was added to a suspension of 0.50 g 1-benzoyl-3-phenylthiourea in MeOH and the resulting mixture was refluxed for 3 h to give the title compound (II; R = X = H). II (R = Q, X = Cl) showed IC50 of 2.2 × 10-6 M for inhibiting the blood platelet aggregation of human platelet rich plasma which was induced by thrombin receptor agonist peptide.

IT 173905-79-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazolidinone derivs. and analogs as thrombin receptor antagonists)

RN 173905-79-8 CAPLUS

CN Benzamide, 4-chloro-N-[5-(2-naphthalenylmethylene)-4-oxo-3-phenyl-2-thiazolidinylidene]- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

ACCESSION NUMBER: 1993:528107 CAPLUS
DOCUMENT NUMBER: 119:128107
ORIGINAL REFERENCE NO.: 119:22779a,22796a
TITLE: Azaheterocyclic nonlinear optical material
INVENTOR(S): Kawamonzen, Yoshihiro; Mori, Yasuaki
PATENT ASSIGNEE(S): Tokyo Shibaura Electric Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

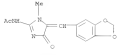
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05002100	A	19930108	JP 1991-248750	19910927
PRIORITY APPLN. INFO.:			JP 1990-256875	A1 19900928

OTHER SOURCE(S): MARPAT 119:128107
GI

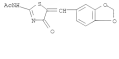
AB The material comprises I [X = CR1R2, NR3; Y = O, S; Z = O, S, SCO, NR4; R = (substituted) aromatic hydrocarbon residue, heterocycle, aliphatic or alicyclic hydrocarbon residue, S; R1-4 = H, functional group; R1 and R2 may form rings]. The material shows high second harmonic generation.

IT 149246-09-7P 149246-14-0P
RL: PREP (Preparation)
(preparation of, nonlinear optical material, with high second harmonic generation)

RN 149246-09-7 CAPLUS
CN Acetanilide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-4-oxo-1H-imidazol-2-yl]- (CA INDEX NAME)



RN 149246-14-0 CAPLUS
CN Acetanilide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)



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=> FIL STNGUIDE
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                    37.32     450.70

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                    -5.22      -7.83

FILE 'STNGUIDE' ENTERED AT 17:12:21 ON 19 AUG 2011
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Aug 12, 2011 (20110812/UP).

=>
=> log hold
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.32     451.02

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00      -7.83

 SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 17:14:30 ON 19 AUG 2011
```